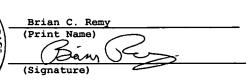
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#### PATENT APPLICATION

### IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re application of:

Group: 1626

Wolfgang Guba, et al.

Serial No.: 10/743,403

Filed: December 22, 2003

For:

NOVEL PYRROLYL-THIAZOLE DERIVATIVES

### TRANSMITTAL OF CERTIFIED COPY

September 7, 2004

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Dear Sir:

Attached please find the certified copy of the foreign application from which priority is claimed for this case:

Country

Application No.

Filing Date

Europe

03000002.0

January 2, 2003

Respectfully submitted,

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Die angehefteten Unterlagen stimmen mit der ursprünglich eingereichten Fassung der auf dem nächsten Blatt bezeichneten europäischen Patentanmeldung überein.

The attached documents are exact copies of the European patent application conformes à la version described on the following page, as originally filed.

Les documents fixés à cette attestation sont initialement déposée de la demande de brevet européen spécifiée à la page suivante.

Patentanmeldung Nr.

Patent application No. Demande de brevet n°

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Anmeldung Nr:

Application no.: 03000002.0

Demande no:

Anmeldetag:

Date of filing: 02.01.03

Date de dépôt:

Anmelder/Applicant(s)/Demandeur(s):

F. HOFFMANN-LA ROCHE AG

4070 Basel SUISSE

Bezeichnung der Erfindung/Title of the invention/Titre de l'invention: (Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung. If no title is shown please refer to the description.
Si aucun titre n'est indiqué se referer à la description.)

Novel pyrrolyl-thiazole derivatives

In Anspruch genommene Prioriät(en) / Priority(ies) claimed /Priorité(s) revendiquée(s)
Staat/Tag/Aktenzeichen/State/Date/File no./Pays/Date/Numéro de dépôt:

Internationale Patentklassifikation/International Patent Classification/Classification internationale des brevets:

C07D417/00

Am Anmeldetag benannte Vertragstaaten/Contracting states designated at date of filing/Etats contractants désignées lors du dépôt:

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PT SE SI SK

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Case 21553

## Novel Pyrrolyl-Thiazole Derivatives

The present invention is concerned with novel pyrrolyl-thiazole derivatives, their manufacture, pharmaceutical compositions containing them and their use as medicaments. The active compounds of the present invention are useful in treating obesity and other disorders.

In particular, the present invention relates to compounds of formula (I):

$$R^{1}$$
 $N$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{3}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{7}$ 
 $R^{7}$ 

wherein

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R<sup>1</sup> is hydrogen, or lower alkyl;

 $R^2$  is hydrogen, lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, lower alkoxycarbonylamino,  $-(CH_2)_m-R^{2a}$  or  $-NHC(O)-R^{2a}$ ;

or R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached form a 5- or 6-membered, saturated heterocyclic ring optionally containing one or two further heteroatom(s) independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy;

R<sup>2a</sup> is cycloalkyl, optionally mono-, di-, tri- or tetra-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro;

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 $R^3$  is lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, di-phenyl-lower alkyl, or  $-(CH_2)_n-R^{3a}$ ;

R<sup>3a</sup> is cycloalkyl fused to a phenyl ring; or cycloalkyl which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro;

R<sup>4</sup> is lower alkyl, lower alkoxycarbonyl; cycloalkyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen

and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; phenoxy-lower alkyl, wherein the phenyl moiety may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by, hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro; or two adjacent substituents of the said phenyl residue together are -O-(CH<sub>2</sub>)<sub>p</sub>-O- or -(CH<sub>2</sub>)<sub>2</sub>-O-;

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, lower alkyl, halogen or fluorinated methyl;

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R<sup>7</sup> is hydrogen, lower alkyl or halogen;
m is 0, 1, 2 or 3;
n is 0, 1, 2, 3 or 4;
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p is 1, 2 or 3;

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and pharmaceutically acceptable salts thereof.

Two different subtypes of cannabinoid receptors (CB<sub>1</sub> amd CB<sub>2</sub>) have been isolated and both belong to G protein coupled receptor superfamily. An alternative spliced form of CB<sub>1</sub>, CB<sub>1A</sub>, has also been described, but it did not exhibit different properties in terms of ligand binding and receptor activation than CB<sub>1</sub> (D.Shire, C. Carrillon, M. Kaghad, B. Calandra, M. Rinaldi-Carmona, G. Le Fur, D. Caput, P. Ferrara, J. Biol. Chem. 270 (8) (1995) 3726-31). The CB<sub>1</sub> receptor is mainly located in the brain, whereas the CB<sub>2</sub> receptor is predominately distributed in the periphery and primarily localized in spleen and cells of the immune system (S. Munro, K.L. Thomas, M. Abu-Shaar, Nature 365 (1993) 61-61). Therefore in order to avoid side effects a CB<sub>1</sub>-selective compound is desirable.

 $\Delta^9$ -tetrahydrocannabinol ( $\Delta^9$ -THC) is the principal psychoactive compound in the Indian hemp (Y. Gaoni, R. Mechoulam, J. Am. Chem. Soc., 86 (1964) 1646), canabis savita (marijuanan), which is used in medicine since ages (R. Mechoulam (Ed.) in "Cannabinoids as therapeutic Agents", 1986, pp. 1-20, CRC Press).  $\Delta^9$ -THC is a non-selective CB<sub>1</sub>/<sub>2</sub>

receptor agonist and is available in the USA as dronabinol (marinol®) for the alleviation of cancer chemotherapy-induced emesis (CIE) and the reversal of body weight loss experienced by AIDS patients through appetite stimulation. In the UK Nabolinone (LY-109514, Cesamet®), a synthetic analogue of  $\Delta^9$ -THC, is used for CIE (R. G. Pertwee, Pharmaceut. Sci. 3 (11) (1997) 539-545, E. M. Williamson, F. J. Evans, Drugs 60 (6) (2000) 1303-1314).

Anandamide (arachidonylethanolamide) was identified as the endogenous ligand (agonist) for the CB<sub>1</sub> receptor (R.G. Pertwee, Curr. Med. Chem., 6 (8) (1999) 635-664; W.A. Devane, L. Hanus, A. Breuer, R.G. Pertwee, L.A. Stevenson, G. Griffin, D. Gibson, A. Mandelbaum, A. Etinger, R. Mechoulam, Science 258 (1992) 1946-9). Anandamide and 2-arachidonoylglycerol (2-AG) modulate at the presynaptic nerve teminal negatively adenylate cyclase and voltage-sensitive Ca<sup>2+</sup> channels and activates the inwardly rectifying K<sup>+</sup> channel (V. Di Marzo, D. Melck, T. Bisogno, L. De Petrocellis, Trends in Neuroscience 21 (12) (1998) 521-8), thereby affecting neurotransmitter release and/or action, which decreases the release of neurotransmitter (A. C. Porter, C.C. Felder, Pharmacol. Ther., 90 (1) (2001) 45-60).

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Anandamide as  $\Delta^9$ -THC also increases feeding through CB<sub>1</sub> receptor-mediated mechanism. CB<sub>1</sub> receptor selective antagonists block the increase in feeding associated with administration of anandamide (C.M. Williams, T.C. Kirkham, Psychopharmacology 143 (3) (1999) 315-317; C. C. Felder, E. M. Briley, J. Axelrod, J. T. Simpson, K. Mackie, W. A. Devane, Proc. Natl. Acad. Sci. U. S. A. 90 (16) (1993) 7656-60) and caused appetite suppression and weight loss (G. Colombo, R. Agabio, G. Diaz, C. Lobina, R. Reali, G. L. Gessa, Life Sci. 63 (8) (1998) L113-PL117).

Leptin is the primary signal through which the hypothalamus senses nutritional state and modulates food intake and energy balance. Following temporary food restriction, CB1 receptor knockout mice eat less than their wild-type littermates, and the CB1 antagonist SR141716A reduces food intake in wild-type but not knockout mice. Furthermore, defective leptin signaling is associated with elevated hypothalamic, but not cerebellar, levels of endocannabinoids in obese db/db and ob/ob mice and Zucker rats. Acute leptin treatment of normal rats and ob/ob mice reduces anandamide and 2-arachidonoyl glycerol in the hypothalamus. These findings indicate that endocannabinoids in the hypothalamus may tonically activate CB1 receptors to maintain food intake and form part of the neural circuitry regulated by leptin (V. Di Marzo, S. K. Goparaju, L. Wang, J. Liu, S. Bitkai, Z.

Jarai, F. Fezza, G. I. Miura, R. D. Palmiter, T. Sugiura, G. Kunos, Nature 410 (6830) 822-825).

SR-141716A, a CB1 selective antagonist / inverse agonist is undergoing currently phase III clinical trials for the treatment of obesity. In a double blind placebo-controlled study, at the doses of 5, 10 and 20 mg daily, SR 141716 significantly reduced body weight when compared to placebo (F. Barth, M. Rinaldi-Carmona, M. Arnone, H. Heshmati, G. Le Fur, "Cannabinoid antagonists: From research tools to potential new drugs." Abstracts of Papers, 222nd ACS National Meeting, Chicago, IL, United States, August 26-30, 2001).

Other compounds which have been proposed as CB1 receptor antagonists respectively inverse agonists are aminoalkylindols (AAI; M. Pacheco, S. R. Childers, R. 10 Arnold, F. Casiano, S. J. Ward, J. Pharmacol. Exp. Ther. 257 (1) (1991) 170-183), like 6bromo- (WIN54661; F. M. Casiano, R. Arnold, D. Haycock, J. Kuster, S. J. Ward, NIDA Res. Monogr. 105 (1991) 295-6) or 6-iodopravadoline (AM630, K. Hosohata, R. M. Quock, R.M; Hosohata, T. H. Burkey, A. Makriyannis, P. Consroe, W. R. Roeske, H. I. Yamamura, Life Sci. 61 (1997) 115 – 118; R. Pertwee, G. Griffin, S. Fernando, X. Li, A. Hill, A. Makriyannis, Life Sci. 56 (23-24) (1995) 1949-55). Arylbenzo[b]thiophene and benzo[b] furan (LY320135, C. C. Felder, K. E. Joyce, E. M. Briley, M. Glass, K. P. Mackie, K. J. Fahey, G. J. Cullinan, D. C. Hunden, D. W. Johnson, M. O. Chaney, G. A. Koppel, M. Brownstein, J. Pharmacol. Exp. Ther. 284 (1) (1998) 291-7) disclosed in WO9602248, US5596106, 3-alkyl-(5,5-diphenyl)imidazolidinediones (M. Kanyonyo, S. J. Govaerts, E. 20 Hermans, J. H. Poupaert, D. M. Lambert, Bioorg. Med. Chem. Lett. 9 (15) (1999) 2233 -2236.) as well as 3-alkyl-5-arylimidazolidinediones (F. Ooms, J. Wouters, O. Oscaro. T. Happaerts, G. Bouchard, P.-A. Carrupt, B. Testa, D. M. Lambert, J. Med. Chem. 45 (9) (2002) 1748-1756) are known to antagonize the CB<sub>1</sub> receptor respectively act as an inverse agonist on the hCB<sub>I</sub> receptor. WO0015609 (FR2783246-A1), WO0164634 (FR2805817-A1), WO0228346, WO0164632 (FR2805818-A1), WO0164633 (FR2805810-A1) disclosed substituted 1-bis(aryl)methyl-azetidines derivatives as antagonists of CB<sub>1</sub>. In WO0170700 4,5-dihydro-1H-pyrazole derivatives are described as CB<sub>1</sub> antagonists. In several patents bridged and non-bridged1,5-diphenyl-3-pyrazolecarboxamide derivatives are disclosed as CB<sub>1</sub> antagonists/inverse agonists (WO0132663, WO0046209, WO9719063, EP658546, 30 EP656354, US5624941, EP576357, US3940418).

It is an object of this invention to provide selective, directly acting CB1 receptor antagonists respectively inverse agonists. Such antagonists / inverse antagonists are useful

in medical therapy, particularly in the treatment and/or prevention of diseases which are associated with the modulation of CB1 receptors.

Unless otherwise indicated, the following definitions are set forth to illustrate and define the meaning and scope of the various terms used to describe the invention herein.

In this specification the term "lower" is used to mean a group consisting of one to eight, preferably of one to four carbon atom(s).

The term "halogen" refers to fluorine, chlorine, bromine and iodine, preferably to chlorine and fluorine.

The term "alkyl", alone or in combination with other groups, refers to a branched or straight-chain monovalent saturated aliphatic hydrocarbon radical of one to twenty carbon atoms, preferably one to sixteen carbon atoms, more preferably one to ten carbon atoms.

The term "lower alkyl", alone or in combination with other groups, refers to a branched or straight-chain monovalent alkyl radical of one to eight carbon atoms, preferably one to four carbon atoms. This term is further exemplified by radicals such as methyl, ethyl, n-propyl, isopropyl, n-butyl, s-butyl, isobutyl, t-butyl, n-pentyl, 3-methylbutyl, n-hexyl, 2-ethylbutyl and the like.

The term "alkoxy" refers to the group R'-O-, wherein R' is alkyl. The term "lower alkoxy" refers to the group R'-O-, wherein R' is lower alkyl. Examples of lower alkoxy groups are e.g. methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy and hexyloxy, with methoxy being especially preferred.

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The term "lower alkenyl" refers to a lower alkyl group containing one or more double bond(s) in the alkylene chain. This term is further exemplified by radicals such as vinyl, 1-propenyl, allyl, 1-butenyl, 2-butenyl and 3-butenyl, with allyl being preferred.

The term "lower alkylamino" refers to the group R'-NH-, wherein R' is lower alkyl.

The term "lower alkoxycarbonyl" refers to the group R'-O-C(O)-, wherein R' is lower alkyl.

The term "lower alkoxycarbonylamino" refers to the group R'-O-C(O)NH-, wherein R' is lower alkyl.

The term "halogenated lower alkyl" refers to a lower alkyl group wherein at least one of the hydrogens of the lower alkyl group is replaced by a halogen atom, preferably fluoro or chloro. Among the preferred halogenated lower alkyl groups are trifluoromethyl, difluoromethyl, fluoromethyl and chloromethyl, with trifluoromethyl being especially preferred. The term "fluorinated lower alkyl" refers to a lower alkyl group wherein at least one of the hydrogens of the lower alkyl group is replaced by fluoro. Among the preferred fluorinated lower alkyl groups are trifluoromethyl, difluoromethyl and fluoromethyl, with trifluoromethyl being especially preferred.

The term "halogenated lower alkoxy" refers to a lower alkoxy group wherein at least one of the hydrogens of the lower alkoxy group is replaced by halogen, preferably by fluorine or chlorine. Among the preferred halogenated lower alkoxy groups are fluorinated lower alkoxy groups such as trifluoromethoxy, difluoromethoxy and fluoromethoxy, with trifluoromethoxy being especially preferred. The term "fluorinated lower alkoxy" refers to a lower alkoxy group wherein at least one of the hydrogens of the lower alkoxy group is replaced by fluoro. Among the preferred fluorinated lower alkoxy groups are trifluoromethoxy, difluoromethoxy and fluoromethoxy, with trifluoromethoxy being especially preferred.

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The term "di-phenyl-lower alkyl" refers to a lower alkyl group wherein two of the hydrogens of the lower alkyl group is replaced by phenyl. The phenyl moiety may optionally be mono-, di-, or tri-substituted, independently, by lower alkyl, lower alkoxy or halogen.

The term "phenoxy-lower alkyl" refers to a lower alkyl group wherein one of the hydrogens of the lower alkyl group is replaced by phenoxy. The phenyl moiety of the phenoxy-lower alkyl residues may optionally be mono-, di-, or tri-substituted, independently, by lower alkyl, lower alkoxy or halogen.

The term "cycloalkyl" refers to a monovalent carbocyclic radical of three to six, preferably three to five carbon atoms. This term is further exemplified by radicals such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "cycloalkenyl" refers to a monovalent carbocyclic radical of three to six, preferably three to five carbon atoms, which carbocyclic ring contains at least on double bond. This term is further exemplified by radicals such as cyclobutenyl, cyclopentenyl and cyclohexenyl, with cyclohexenyl being preferred.

The term "pharmaceutically acceptable salts" embraces salts of the compounds of formula (I) with inorganic or organic acids such as hydrochloric acid, hydrobromic acid, nitric acid, sulphuric acid, phosphoric acid, citric acid, formic acid, maleic acid, acetic acid, fumaric acid, succinic acid, tartaric acid, methanesulphonic acid, salicylic acid, ptoluenesulphonic acid and the like, which are non toxic to living organisms. Preferred salts with acids are formates, maleates, citrates, hydrochlorides, hydrobromides and methanesulfonic acid salts, with hydrochlorides being especially preferred.

In one embodiment, the present invention relates to a compound of formula (I) as defined above, wherein R<sup>1</sup> is hydrogen or lower alkyl.

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Preferable lower alkyl residues R<sup>1</sup> are methyl and ethyl, with methyl being especially preferred. Most preferably, R<sup>1</sup> is hydrogen.

In another embodiment, the present invention relates to a compound of formula (I) as defined above, wherein  $R^2$  is hydrogen, lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, lower alkoxycarbonylamino,  $-(CH_2)_m-R^{2a}$  or  $-NHC(O)-R^{2a}$ .

Preferable lower alkyl residues  $R^2$  are branched or straight chain alkyl residues with one to eight, preferably three to five carbon atoms, such as n-propyl, n-butyl, s-butyl, isobutyl, n-pentyl and 2-ethylhexyl. Most preferred lower alkyl residues  $R^2$  are n-propyl, n-butyl, s-butyl, isobutyl and n-pentyl. Preferable lower alkenyl residues  $R^2$  are 1-butenyl and allyl, with allyl being especially preferred. Preferable lower alkoxy-lower alkyl residues  $R^2$  are methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl and ethoxypropyl, with methoxyethyl and methoxypropyl being especially preferred. Preferable lower alkoxycarbonylamino groups  $R^2$  are methoxycarbonylamino, ethoxycarbonylamino, propoxycarbonylamino and butoxycarbonylamino, with ethoxycarbonylamino being especially preferred. Preferable residues  $R^2$  are lower alkyl as defined above, -( $CH_2$ )<sub>m</sub>- $R^{2a}$  or -NHC(O)- $R^{2a}$ , wherein  $R^{2a}$  is as defined below and m is 0 or 1, preferably 0. Most preferable residues  $R^2$  are lower alkyl as defined above or -( $CH_2$ )<sub>m</sub>- $R^{2a}$ , wherein  $R^{2a}$  is as defined below and m is 0 or 1, preferably 0.

In one embodiment, R<sup>2a</sup> is cycloalkyl, optionally mono-, di-, tri- or tetra-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring

containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro.

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Preferable cycloalkyl residues R<sup>2a</sup> are cycloalkyl residues with three to six carbon atoms, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, which may optionally be mono-, di-, tri- or tetra-substituted, preferably mono- or tetra-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy, preferably by lower alkyl, such as methyl, and/or hydroxy. Most preferable cycloalkyl residues R<sup>2a</sup> are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and 2-hydroxycyclopentyl. Preferable cycloalkenyl residues R<sup>2a</sup> are cyclobutenyl, cyclopentenyl and cyclohexenyl, with cyclohexenyl, preferably cyclohex-1-enyl, being especially preferred. Preferable heterocyclic rings R<sup>2a</sup> are 5- or 6-memberd, with 5-membered being especially preferred, and contain one to three, preferably one or two, heteroatoms independently selected from nitrogen, oxygen and sulfur, preferably selected form nitrogen and oxygen, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy. Preferably, heterocyclic rings R<sup>2a</sup> are unsubstituted. Most preferred heterocyclic rings R<sup>2a</sup> are piperidinyl, morpholino and tetrahydrofuranyl, with piperidinyl and morpholino being especially preferred. Preferable heteroaromatic rings R<sup>2a</sup> are 5- or 6-membered and contain one to three, preferably one or two, heteroatoms independently selected from nitrogen, oxygen and sulfur, preferably selected form nitrogen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino. Examples of heteroaromatic rings R<sup>2a</sup> are pyridinyl, pyrimidinyl, thiazolyl and isoxazolyl, optionally substituted as defined above. Preferably, heteroaromatic rings R<sup>2a</sup> are unsubstituted or mono-substituted by lower alkyl, preferably methyl. Most preferable heteroaromatic rings R<sup>2a</sup> are pyridinyl, pyrimidinyl, 4methylthiazolyl or 5-methylisoxazolyl. Preferable phenyl residues R<sup>2a</sup> are optionally mono-, di- or tri-substituted, preferably mono- or di-substituted, independently, by lower

alkoxy, such as methoxy, halogen, such as chloro, halogenated lower alkyl, such as trifluoromethyl, halogenated lower alkoxy, such as trifluoromethoxy, or nitro. Most preferable phenyl residues R<sup>2a</sup> are unsubstituted phenyl, 4-trifluoromethyl-phenyl, 4-chloro-phenyl, 3,4-dichloro-phenyl, 3,4-dimethoxy-phenyl, 2-nitro-phenyl and 4-trifluoromethoxy-phenyl.

Preferably, m is 0, 1 or 2, more preferably m is 0 or 1, most preferably m is 0.

In another embodiment, R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached form a 5- or 6-membered, saturated heterocyclic ring optionally containing one or two, preferably one, further heteroatom(s) independently selected from nitrogen, oxygen and sulfur, preferably oxygen, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy. Preferably, heterocyclic rings formed by R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached are unsubstituted, with unsubstituted pyrrolidinyl, piperidinyl and morpholino being especially preferred.

In another embodiment, the present invention relates to a compound of formula (I) as defined above, wherein  $R^3$  is lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, diphenyl-lower alkyl, or  $-(CH_2)_n-R^{3a}$ .

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Preferable lower alkyl residues  $R^3$  are branched or straight chain alkyl residues with one to six, preferably four carbon atoms, such as ethyl, n-propyl, isopropyl, n-butyl, s-butyl, isobutyl, n-pentyl and n-hexyl. Most preferred lower alkyl residues  $R^3$  are n-butyl and s-butyl. Preferable lower alkenyl residues  $R^3$  are 1-butenyl and allyl, with allyl being especially preferred. Preferable lower alkoxy-lower alkyl residues  $R^3$  are methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl and ethoxypropyl, with methoxyethyl and methoxypropyl being especially preferred. Preferable di-phenyl-lower alkyl is di-phenyl-methyl. Most preferably,  $R^3$  is a residue -( $CH_2$ )<sub>n</sub>- $R^{3a}$ , wherein  $R^{3a}$  is as defined below and n is 1 or 2, preferably 1.

In one embodiment, R<sup>3a</sup> is cycloalkyl fused to a phenyl ring; or cycloalkyl which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being

optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5- or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro.

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Preferable cycloalkyl residues R<sup>3a</sup> are cycloalkyl residues with five or six carbon atoms, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy, or which may optionally be fused to a phenyl ring. Preferably, cycloalkyl residues R<sup>3a</sup> are unsubstituted, such as unsubstituted cyclopentyl or unsubstituted cyclohexyl, with unsubstituted cyclohexyl being preferred, or fused to a phenyl residue, such as indanyl. Preferable cycloalkenyl residues R<sup>2a</sup> are cyclobutenyl, cyclopentenyl and cyclohexenyl, with cyclohexenyl, preferably cyclohex-1-enyl, being especially preferred. Preferable heterocyclic rings R<sup>3a</sup> are 5- or 6-memberd and contain one to three, preferably one or two, heteroatoms independently selected from nitrogen, oxygen and sulfur, preferably selected form nitrogen and oxygen, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy. Examples of heterocyclic rings R<sup>3a</sup> are piperidinyl, morpholino and pyrrolidinyl, optionally substituted as defined above. Preferably, heterocyclic rings R<sup>3a</sup> are unsubstituted or substituted by lower alkyl, such as methyl or ethyl, with ethyl being especially preferred. Most preferred heterocyclic rings R<sup>3a</sup> are piperidinyl, morpholino and 1-ethyl-pyrrolidinyl. Preferable heteroaromatic rings R<sup>3a</sup> are 5- or 6-membered and contain one to three, preferably one, heteroatom(s) independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino. Examples of heteroaromatic rings R<sup>3a</sup> are furyl, thienyl and pyridinyl, optionally substituted as defined above. Preferably, heteroaromatic rings R<sup>3a</sup> are unsubstituted or mono-substituted by lower alkyl, preferably methyl. Most preferable heteroaromatic rings R<sup>3a</sup> are furyl, thienyl, 3-methylthienyl and pyridinyl. Preferable phenyl residues R<sup>3a</sup> are optionally mono-, di- or tri-substituted, preferably mono- or di-substituted, most preferably mono-substituted, independently, by hydroxy, lower alkyl, such as methyl or isopropyl, lower alkoxy, such as methoxy, halogen, such as chloro, halogenated lower alkyl, such as trifluoromethyl, halogenated lower alkoxy,

such as trifluoromethoxy, or nitro. Most preferable phenyl residues R<sup>3a</sup> are unsubstituted phenyl, 2-methyl-phenyl, 4-methyl-phenyl, 3,4-dimethyl-phenyl, 3,5-dimethyl-phenyl, 4-isopropyl-phenyl, 4-chloro-phenyl, 3,4-dichloro-phenyl, 3,5-dichloro-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 2,4-dimethoxy-phenyl, 3,4,5-trimethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 3-trifluoromethyl-4-chlorophenyl and 4-nitro-phenyl, with 4-methoxy-phenyl being especially preferred. Most preferable residue R<sup>3a</sup> is a phenyl residue as defined above.

Preferably, n is 0, 1, 2 or 3, more preferably n is 1 or 2, most preferably n is 1.

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In another embodiment, the present invention relates to a compound of formula (I) as defined above, wherein R<sup>4</sup> is lower alkyl; lower alkoxycarbonyl; cycloalkyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; phenoxy-lower alkyl, wherein the phenyl moiety may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy or nitro; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by, hydroxy, lower alkyl, lower alkoxy, halogen, lower alkyl, malogenated lower alkyl, halogenated lower alkoxy or nitro; or two adjacent substituents of the said phenyl residue together are -O-(CH<sub>2</sub>)<sub>2</sub>-O- or -(CH<sub>2</sub>)<sub>2</sub>-O-.

Preferable lower alkyl residues R4 are branched or straight chain alkyl residues with one to six, preferably one to three carbon atoms, such as methyl, ethyl, n-propyl, isopropyl, n-butyl, s-butyl, t-butyl, isobutyl and n-pentyl. Most preferred lower alkyl 25 residue R<sup>4</sup> is methyl. Preferable lower alkoxycarbonylamino groups R<sup>4</sup> are methoxycarbonylamino, ethoxycarbonylamino, propoxycarbonylamino and butoxycarbonylamino, with ethoxycarbonylamino being especially preferred. Preferable cycloalkyl residues R4 are cycloalkyl residues with three to six carbon atoms, such as cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, which may optionally be mono-, di-, 30 tri- or tetra-substituted, preferably mono- or tetra-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy, preferably by lower alkyl, such as methyl, and/or hydroxy. Most preferable cycloalkyl residue R4 is unsubstituted cyclohexyl. Preferable heteroaromatic rings R4 are 5- or 6-membered and contain one to three, preferably one or two, heteroatoms independently selected from 35

nitrogen, oxygen and sulfur, preferably selected form nitrogen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino. Examples of heteroaromatic rings R<sup>4</sup> are pyridinyl, pyrazinyl and thiazolyl, optionally substituted as defined above. Preferably, heteroaromatic rings R4 are unsubstituted or mono-substituted by lower alkyl, such as methyl and ethyl, or by lower alkoxy, such as methoxy. Most preferable heteroaromatic rings R<sup>4</sup> are 2-methoxy-pyridinyl, 2-methyl-pyridinyl, pyrazinyl and 2-methyl-thiazolyl. Preferable phenoxy-lower alkyl residues R<sup>4</sup> are phenoxy-methyl and phenoxy ethyl, wherein the phenyl moiety may optionally be mono-substituted by lower alkoxy, such as methoxy. Most preferable phenoxy-lower alkyl residue R4 is 3methoxy-phenoxy-methyl. Preferable phenyl residues R<sup>4</sup> are mono-, di- or tri-substituted, preferably mono- or di-substituted, most preferably mono-substituted, independently, by hydroxy, lower alkyl, such as methyl, ethyl or t-butyl, lower alkoxy, such as methoxy, halogen, such as chloro or fluoro, halogenated lower alkyl, such as trifluoromethyl, halogenated lower alkoxy, such as trifluoromethoxy or nitro. Alternatively, two adjacent substituents of the said phenyl residue together may be -O-(CH<sub>2</sub>)<sub>p</sub>-O- or -(CH<sub>2</sub>)<sub>2</sub>-O-, wherein p is 1, 2 or 3, preferably 1 or 2, most preferably 1. Preferable substituents of phenyl residues R<sup>4</sup> are nitro and lower alkoxy, or two adjacent substituents being -O-CH<sub>2</sub>-O-. Most preferable substituted phenyl residues R<sup>4</sup> are 2-methyl-phenyl, 4methyl-phenyl, 4-ethyl-phenyl, 4-t-butyl-phenyl, 2-chloro-phenyl, 4-chloro-phenyl, 2,4dichloro-phenyl, 2-fluoro-phenyl, 4-fluoro-phenyl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 3,4-dimethoxy-phenyl, 3,5-dimethoxy-phenyl, 4-hydroxy-phenyl, 4trifluoromethyl-phenyl, 4-trifluoromethoxy-phenyl, 4-nitro-phenyl, benzo[1,3]dioxolyl and 2,3-dihydro-benzofuranyl, with 4-methoxyphenyl, 4-nitro-phenyl and benzo[1,3]dioxolyl being especially preferred. Preferable residues R<sup>4</sup> are cycloalkyl residues and substituted phenyl residues as defined above.

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In another embodiment, the present invention relates to a compound of formula (I) as defined above, wherein R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, lower alkyl, halogen or fluorinated methyl.

Preferable lower alkyl residues  $R^5$  and  $R^6$  are methyl and ethyl, with methyl being especially preferred. Preferable halogen residues  $R^5$  and  $R^6$  are fluoro and chloro, with chloro being especially preferred. Preferable residue  $R^5$  is lower alkyl, such as methyl. Preferable residues  $R^6$  are hydrogen and lower alkyl, such as methyl.

In another embodiment, the present invention relates to a compound of formula (I) as defined above, wherein R<sup>7</sup> is hydrogen, lower alkyl or halogen.

Preferable lower alkyl residues R<sup>7</sup> are methyl and ethyl, with methyl being especially preferred. Preferable halogen residues R<sup>7</sup> are fluoro and chloro, with chloro being especially preferred. Preferable residue R<sup>7</sup> are hydrogen and lower alkyl, such as methyl.

Preferred compounds of general formula (I) are the compounds of Examples 1 to 375 (see section Examples below) and pharmaceutically acceptable salts thereof. Especially preferred are the compounds selected from the group consisting of:

- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid butylamide,
- 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-10 pyrrole-3 -carboxylic acid butylamide,
  - rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid sec-butylamide,
  - rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrr ole-3-carboxylic acid sec-butylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid isobutyl-amide,
  - 1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid isobutyl-amide,
- 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-20 pyrrole-3 -carboxylic acid isobutyl-amide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid allylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid cyclohexylmethyl-amide,
- 25 1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxy lic acid cyclohexylmethyl-amide,
  - 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3 -carboxylic acid cyclohexylmethyl-amide,
- 5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-30 1H-py rrole-3-carboxylic acid cyclohexylmethyl-amide,
  - 4-[1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-4-(3-methoxy-propylcarbamoyl)-5-methyl-1H- pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester,

- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid piperidin-1-ylamide,
- N'-{1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carbonyl}-hydrazinecarboxylic acid ethyl ester,
- rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide,

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- {1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}-piperidin-1-yl-methanone,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-10 3-carboxylic acid phenylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pyrimidin-2-ylamide,
  - rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (5-hydroxy-2,2,6-trimethyl-cyclohexylmethyl)-amide,
- 5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3-trifluoromethoxy-benzyl)1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Benzyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- {1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-20 3-yl}-pyrrolidin-1-yl-methanone,
  - 1-Cyclohexylmethyl-5-[2-(3,4-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(3-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 5-(2-Benzo[1,3]dioxol-5-yl-thiazol-4-yl)-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(2-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-[2-(4-trifluoromethoxy-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide,

- 1-Cyclohexylmethyl-5-[2-(3,5-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-2-methyl-5-(2-m-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-2-methyl-5-(2'-methyl-[2,4']bithiazolyl-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-ethyl-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 5-[2-(4-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 5-[2-(4-tert-Butyl-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(2,3-dihydro-benzofuran-5-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 15 1-Cyclohexylmethyl-2-methyl-5-(2-p-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(6-methoxy-pyridin-3-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(2,4-dichloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-20 3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-[2-(4-nitro-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pentylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid propylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-30 3-carboxylic acid cyclopentylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopropylamide,

- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclobutylamide,
- (trans) rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-cyclopentyl)-amide,
- 5 1-(4-Chloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-(3,4-Dichloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-(3,4-Dimethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-10 pyrrole-3-carboxylic acid butylamide,
  - 1-(3,4-Dimethoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-hydroxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-(4-Isopropyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-pyridin-2-ylmethyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-(2-cyclohexyl-thiazol-4-yl)-2-methyl-1H-pyrrole-3-20 carboxylic acid butylamide,

and pharmaceutically acceptable salts thereof.

Most preferred compounds of general formula (I) are those selected from the group consisting of:

- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid butylamide,
  - rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide,
- {1-Cyclohexylmethyl-5-{2-(4-methoxy-phenyl)-thiazol-4-yl}-2-methyl-1H-pyrrol-30 3-yl}-piperidin-1-yl-methanone,

- 5-(2-Benzo[1,3]dioxol-5-yl-thiazol-4-yl)-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(4-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-2-methyl-5-(2-p-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
  - $\label{lem:cyclohexylmethyl-5-[2-(6-methoxy-pyridin-3-yl)-thiazol-4-yl]-2-methyl-1 H-pyrrole-3-carboxylic acid butylamide,$
- 1-Cyclohexylmethyl-2-methyl-5-[2-(4-nitro-phenyl)-thiazol-4-yl]-1H-pyrrole-3-10 carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pentylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylamide,
- 15 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopentylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopropylamide,
- 1-Cyclohexylmethyl-5-[2-(4-hydroxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-20 carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-(2-cyclohexyl-thiazol-4-yl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,

and pharmaceutically acceptable salts thereof.

The present invention also relates to a process for the manufacture of compounds of formula (I) as defined above. The compounds of formula (I) can be manufactured by the methods given below, by the methods given in the Examples or by analogous methods. Appropriate reaction conditions for the individual reaction steps are known to the person skilled in the art. Starting materials are either commercially available or can be prepared by methods analogous to the methods given below or in the Examples or by methods known in the art.

The compounds of formula (I) may be prepared using the general methods described below:

Compounds of formula (I), wherein R<sup>1</sup> to R<sup>7</sup> and m are as previously defined, can be prepared by reaction of enamines of formula A with alfa-bromoketones of formula B according to methods known in the art (Scheme 1). For example, the reaction can be performed in an inert solvent such as DMF in the presence of a hindered base such as 2,6-di-tert-butylpyridine or 2,6-lutidine.

#### Scheme 1:

Compounds of formula I can be purified by methods known in the art such as precipitation from mixtures of solvents (e.g. acetonitrile and water) or by column chromatography using SiO<sub>2</sub> with eluents know in the art (e.g. n-heptane/Ethyl acetate, dichloromethane/methanol and dichloromethane/(1% NH<sub>3</sub> in MeOH)).

Thiazole derivatives of formula B can be prepared from dibromodiketones of formula C and thioamides of formula C by methods known in the art (Scheme 2). For example, the reaction can be performed by addition of thioamides of formula C to dibromo-diketones of formula D in an inert solvent such as DMF.

#### Scheme 2:

$$R^{6} \xrightarrow{Br} O \xrightarrow{R^{7}} + R^{4} \xrightarrow{N} N \xrightarrow{R^{6}} R^{7}$$

$$C \qquad D \qquad B$$

Enamines of formula A can be prepared from beta-ketoamides of formula E and amines of formula F by methods known in the art (Scheme 3). For example a beta-keto amide of formula E can be reacted with an amine of formula B in a suitable inert solvent (e.g. DMF) in the presence of a hindered base (e.g. 2,6-di-tert-butylpyridine) to yield enamine of formula A.

#### Scheme 3

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Beta-ketoamides of formula E are either known form the literature or can be purchased from commercial sources or else can be prepared by methods known in the art. For example, beta-ketoamides of formula E wherein  $R^5$  = methyl can be prepared by reaction of amines of formula G with diketene in an inert solvent such as dichloromethane (Scheme 4).

#### Scheme 4

$$R^{1}$$
 $H^{2}$ 
 $H^{2}$ 
 $H^{2}$ 
 $H^{3}$ 
 $H^{5} = Me$ 

Compounds of formula D, F and G are either known from the literature or can be purchased from commercial sources or else can be synthesized by methods known in the art.

The invention further relates to compounds of formula (I) as defined above, when manufactured according to a process as defined above.

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Some compounds of formula (I) may possess asymmetric centres and are therefore capable of existing in more than one stereoisomeric form. The invention thus also relates to compounds in substantially pure isomeric form at one or more asymmetric centres as well as mixtures, including racemic mixtures, thereof. Such isomers may be prepared by asymmetric synthesis, for example using chiral intermediate, or mixtures may be resolved by conventional mehtods, eg., chromatography (chromatography with a chiral adsorbens or eluent), or use of a solving agent.

It will be appreciated, that the compounds of general formula (I) in this invention may be derivatised at functional groups to provide derivatives which are capable of conversion back to the parent compound in vivo. As described above, the compounds of formula (I) or pharmaceutically acceptable salts thereof can be used as medicaments for the treatment and/or prophylaxis of diseases which are associated with the modulation of the CB1 receptors.

The invention therefore also relates to pharmaceutical compositions comprising a compound as defined above and a pharmaceutically acceptable carrier and/or adjuvant.

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Further, the invention relates to compounds as defined above for use as therapeutic active substances, particularly as therapeutic active substances for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors.

In another embodiment, the invention relates to a method for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors, which method comprises administering a compound as defined above to a human being or animal.

The invention further relates to the use of compounds as defined above for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors.

In addition, the invention relates to the use of compounds as defined above for the preparation of medicaments for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors. Such medicaments comprise a compound as defined above.

In this context, the expression 'diseases associated with modulation of CB1 receptors' means diseases which can be treated and/or prevented by modulation of CB1 receptors. Such diseases encompass, but are not limited to, psychic disorders, especially anxiety, psychosis, schizophrenia, depression, abuse of psychotropes, for example for the abuse and/or dependence of a substances, including alcohole dependency and nicotine dependency, neuropathies, migraine, stress, epilepsy, dyskinesias, Parkinson's disease, amnesia, cognitive disorders, senile dementia, Alzheimer's disease, eating disorders, obesity, diabetes type II or non insulin dependent diabetes (NIDD), gastrointestinal diseases, vomiting, diarrhea, urinary disorders, cardiovascular disorders, infertility disorders, inflammations, infections, cancer, neuroinflammation, in particular in atherosclerosis, or the Guillain-Barré syndrome, viral encephalitis, cerebral vascular incidents and cranial trauma.

In a preferable aspect, the expression 'diseases associated with modulation of CB1 receptors' relates to eating disorders, obesity, diabetes type II or non insulin dependent diabetes (NIDD), neuroinflammation, diarrhea, abuse and/or dependence of a substances, including alcohole dependency and nicotine dependency. In a more preferable aspect, the said term related to eating disorders, obesity, diabetes type II or non insulin dependent diabetes (NIDD), abuse and/or dependence of a substances, including alcohole dependency and nicotine dependency, with obesity being especially preferred.

The following tests were carried out in order to determine the activity of the compounds of formula (I).

The affinity of the compounds of the invention for cannabinoid CB1 receptors was determined using membrane preparations of human embryonic kidney (HEK) cells in which the human cannabis CB1 receptor is transiently transfected using the Semliki Forest Virus system in conjunction with [3H]-CP-55,940 as radioligand. After incubation of a freshly prepared cell membrane preparation with the [3H]-ligand, with or without addition of compounds of the invention, separation of bound and free ligand was performed by filtration over glassfiber filters. Radioactivity on the filter was measured by liquid scintillation counting.

The affinity of the compounds of the invention for cannabinoid CB2 receptors was determined using membrane preparations of human embryonic kidney (HEK) cells in which the human cannabis CB2 receptor is transiently transfected using the Semliki Forest virus system in conjunction with [3H]-CP-55,940 as radioligand. After incubation of a freshly prepared cell membrane preparation with the [3H]-ligand, with or without addition of compounds of the invention, separation of bound of bound and free ligand was performed by filtration over glassfiber filters. Radioactivity on the filter was measured by liquid scintillation counting.

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The cannabinoid CB1 antagonistic activity of compounds of the invention was determined by functional studies using CHO cells in which human cannabinoid CB1 receptors are stably expressed (see M. Rinaldi-Carmona et. al., J. Pharmacol. Exp. Ther. 278 (1996) 871). The stable expression of the human cannabinoid receptor in cell systems was first described in Nature 1990, 346, 561-564 (CB1) and Nature 1993, 365, 61-65 (CB2) respectively. Adenylyl cyclase was stimulated using forskolin and measured by quantifying the amount of accumulated cyclic AMP. Concomitant activation of CB1 receptors by CB1

receptor agonists (e.g. CP-55,940 or (R)-WIN-55212-2) can attenuate the forskolin-induced accumulation of cAMP in a concentration dependent manner. This CB1 receptor mediated response can be antagonised by CB1 receptor antagonists such as the compounds of the invention.

The compounds of formula (I) show an excellent affinity for the CB1 receptor, determined with the experimental conditions described in Devane et.al. Mol. Pharmacol. 34 (1988) 605-613. The compounds of the present invention or the pharmaceutically acceptable salts or sovates are antagonists and selective for the CB1 receptor with affinites below  $IC_{50} = 2 \mu M$ . They exhibit at least a 10 fold selectivity against the CB2 receptor.

Compound of Example	IC <sub>50</sub> [μM]
. 8	< 2
299	< 2
305	< 2
311	< 2
315	< 2
324	< 2
333	< 2
340	< 2
356	< 2
371	< 2
375	< 2

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The compounds of formula (I) and/or their pharmaceutically acceptable salts can be used as medicaments, e.g. in the form of pharmaceutical preparations for enteral, parenteral or topical administration. They can be administered, for example, perorally, e.g. in the form of tablets, coated tablets, dragées, hard and soft gelatine capsules, solutions, emulsions or suspensions, rectally, e.g. in the form of suppositories, parenterally, e.g. in the form of injection solutions or infusion solutions, or topically, e.g. in the form of ointments, creams or oils. Oral administration is preferred.

The production of the pharmaceutical preparations can be effected in a manner which will be familiar to any person skilled in the art by bringing the described compounds of formula (I) and/or their pharmaceutically acceptable salts, optionally in combination with other therapeutically valuable substances, into a galenical administration form together with suitable, non-toxic, inert, therapeutically compatible solid or liquid carrier materials and, if desired, usual pharmaceutical adjuvants.

Suitable carrier materials are not only inorganic carrier materials, but also organic carrier materials. Thus, for example, lactose, corn starch or derivatives thereof, talc, stearic acid or its salts can be used as carrier materials for tablets, coated tablets, dragées and hard gelatine capsules. Suitable carrier materials for soft gelatine capsules are, for example, vegetable oils, waxes, fats and semi-solid and liquid polyols (depending on the nature of the active ingredient no carriers might, however, be required in the case of soft gelatine capsules). Suitable carrier materials for the production of solutions and syrups are, for example, water, polyols, sucrose, invert sugar and the like. Suitable carrier materials for injection solutions are, for example, water, alcohols, polyols, glycerol and vegetable oils. Suitable carrier materials for suppositories are, for example, natural or hardened oils, waxes, fats and semi-liquid or liquid polyols. Suitable carrier materials for topical preparations are glycerides, semi-synthetic and synthetic glycerides, hydrogenated oils, liquid waxes, liquid paraffins, liquid fatty alcohols, sterols, polyethylene glycols and cellulose derivatives.

Usual stabilizers, preservatives, wetting and emulsifying agents, consistencyimproving agents, flavour-improving agents, salts for varying the osmotic pressure, buffer substances, solubilizers, colorants and masking agents and antioxidants come into consideration as pharmaceutical adjuvants.

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The dosage of the compounds of formula (I) can vary within wide limits depending on the disease to be controlled, the age and the individual condition of the patient and the mode of administration, and will, of course, be fitted to the individual requirements in each particular case. For adult patients a daily dosage of about 1 to 1000 mg, especially about 1 to 100 mg, comes into consideration. Depending on severity of the disease and the precise pharmacokinetic profile the compound could be administered with one or several daily dosage units, e.g. in 1 to 3 dosage units.

The pharmaceutical preparations conveniently contain about 1-500 mg, preferably 1-100 mg, of a compound of formula (I).

The following Examples serve to illustrate the present invention in more detail. They are, however, not intended to limit its scope in any manner.

### **Examples**

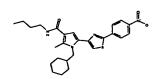
MS = mass spectrometry; ISP = ion spray (positive ion), corresponds to ESI (electrospray, positive ion); mp = melting point.

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## Example 1

1-Cyclohexylmethyl-2-methyl-5-[2-(4-nitro-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide



- The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-nitrophenyl thioamide as  $R^4C(S)NH_2$ , as follows:
  - 1. Synthesis of 2-bromo-1-[2-(4-nitro-phenyl)-thiazol-4-yl]-ethanone (Compound B)

To a solution of 1.4 g of 1,4-dibromo-2,3-butanedione in dimethylformamide (110 ml) at room temperature was added 1.4 ml of 2,6-di-tert-butylpyridine. Then a solution of 1.0 g of 4-nitro-phenyl-thiobenzamide in 20 ml of dimethylformamide was slowly added to the reaction mixture over 1 hour. The reaction mixture was then allowed to stir for an additional hour at room temperature before being concentrated *in vacuo*. The residue was then purified by chromatography over a short column (SiO<sub>2</sub>, 120g, CH<sub>2</sub>Cl<sub>2</sub> 100%). The isolated compound was triturated with isopropylether to yield 771 mg of the title compound as a yellow solid, mp = 186-187°C, MS (EI) 326(M).

2. Synthesis of 1-Cyclohexylmethyl-2-methyl-5-[2-(4-nitro-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide

To a solution of 4.2 g of diketene in dichloromethane (70 ml) cooled at 0°C was added over 1 hour a solution of 3.7 g of butylamine in 50 ml of dichloromethane. The reaction mixture was then stirred for one hour at 0°C and then let to stir at room temperature for another hour. The reaction mixture was then concentrated *in vacuo* and the crude residue was partitioned in batches which were directly used in the next step.

To 180 mg of the previous crude material in 5 ml of dimethylformamide was added 0.15 ml of cyclohexylmethylamine together with 0.13 ml of trimethyl orthoformate and the reaction mixture was stirred for 24 hours at room temperature. After such time, 260 mg of 2-bromo-1-[2-(4-nitro-phenyl)-thiazol-4-yl]-ethanone was added together with 0.14 ml of 2,6-lutidine and the reaction mixture was stirred for another 24 hours at room temperature. After such time, 5 ml of a MeCN-H<sub>2</sub>O (1:1) solution is added to the reaction mixture, the precipitate is filtrated and washed with MeCN-H<sub>2</sub>O (1:1) and isopropylether to yield 264 mg of the title compound as a yellow solid, mp = 184-187 °C, MS (ISP) 481.3  $(M+H)^{+}$ .

Examples 2-375 were synthesized in analogy to Example 1, using the indicated educts.

# Example 2

20 1-Butyl-5-[2-(2-chloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxy-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

# Example 4

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-isobutyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3-(CH_2)_m-NH_2$  and 2-chloro-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

## Example 5

1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxy-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

# Example 6

1-Isobutyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ 10 (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 7

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

# Example 8

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxy-phenyl thiobenzamide as  $R^4C(S)NH_2$ .

# Example 9

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1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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### Example 10

1-Cyclohexylmethyl-5-{2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl}-2-methyl-1H-pyrrole -3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridinecarbothioamide as  $R^4C(S)NH_2$ .

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# Example 11

1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 12

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chlorophenyl thioamide as  $R^4C(S)NH_2$ .

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxy-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 14

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5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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#### Example 15

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothioamide as  $R^4C(S)NH_2$ .

#### 5

## Example 16

2-Methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 6-methyl-pyridine-3-carbothiamide as  $R^4C(S)NH_2$ .

## Example 17

2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothiamide as  $R^4C(S)NH_2$ .

1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxy-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 19

1-(2-Methoxy-ethyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^{1}R^{2}NH$ , 2-methoxyethylamine as  $R^{3}$ -( $CH_{2}$ )<sub>m</sub>- $NH_{2}$  and pyrazine-2-carbothioamide as  $R^{4}C(S)NH_{2}$ .

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#### Example 20

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chlorophenyl thioamide as  $R^4C(S)NH_2$ .

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

Example 21

The title compound was obtained using butylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxy-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 22

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , 3-furyl methylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothioamide as  $R^4C(S)NH_2$ .

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

5

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chlorophenyl thioamide as  $R^4C(S)NH_2$ .

## Example 24

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1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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### Example 25

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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## Example 26

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 27

4-[4-Butylcarbamoyl-1-(4-methoxy-benzyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

#### 15

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate as  $R^4C(S)NH_2$ .

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

#### Example 29

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothiamide as  $R^4C(S)NH_2$ .

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## Example 30

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , methoxypropylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 31

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , methoxypropylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethane thioamide as  $R^4C(S)NH_2$ .

## Example 32

4-[4-Butylcarbamoyl-1-(3-methoxy-propyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

#### 15

The title compound was obtained using butylamine as  $R^1R^2NH$ , methoxypropylamine as  $R^3-(CH_2)_m-NH_2$  and ethylthiooxamate as  $R^4C(S)NH_2$ .

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3carboxylic acid butylamide

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The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-(aminomethyl)thiophene as R3-(CH2)m-NH2 and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 34

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3carboxylic acid butylamide

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The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-(aminomethyl)thiophene as R3-(CH2)m-NH2 and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 35

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1Hpyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### 5

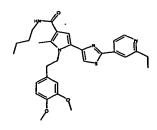
## Example 36

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 37

1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3-(CH_2)_m-NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 38

5 rac-1-Butyl-5-[2-(2-chloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 39

rac-1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

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The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 40

rac-1-Butyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 41

rac-1-Butyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

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The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 42

15 rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-isobutyl-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 43

5 rac-1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3-(CH_2)_m-NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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#### Example 44

rac-1-Isobutyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

15 The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ -NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### Example 45

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### 5

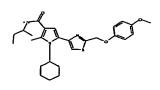
## Example 46

rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 47

15 rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide



The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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## Example 48

rac-1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 49

rac-1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 51

10 rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 52

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 53

rac-2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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## Example 54

15 rac-1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 55

5 rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^{1}R^{2}NH$ , 3-furylmethylamine as  $R^{3}-(CH_{2})_{m}-NH_{2}$  and 2-chloro-phenyl thioamide as  $R^{4}C(S)NH_{2}$ .

## Example 56

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rac-1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

15 The title compound was obtained using sec-butylamine as  $R^{1}R^{2}NH$ , 3-furylmethylamine as  $R^{3}$ -( $CH_{2}$ )<sub>m</sub>- $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ .

## Example 57

rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 58

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rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 59

rac-1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 60

5 rac-1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 61

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rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 62

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rac-1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 63

rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 64

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

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The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid sec-butylamide

5

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 3-(aminomethyl)-thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 66

10 rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 3-(aminomethyl)-thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 15

#### Example 67

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 3-(aminomethyl)-thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 68

rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 69

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-[2-(3,4-dimethoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

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The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

1-Butyl-5-[2-(2-chloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

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The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 71

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1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^{1}R^{2}NH$ , butylamine as  $R^{3}$ - $(CH_{2})_{m}$ - $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ .

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#### Example 72

1-Butyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 73

1-Butyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , butylamine as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 74

1-Butyl-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid isobutyl-amide

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The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

5

The title compound was obtained using isobutylamine as  $R^1R^2NH$ , isobutylamine as  $R^3-(CH_2)_m-NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 76

1-Isobutyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### 15

#### Example 77

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-isobutyl-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 78

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5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-[2-(4-methoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as R<sup>1</sup>R<sup>2</sup>NH, 2-(4methoxyphenyl)ethylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

## Example 79

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 80

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as R<sup>1</sup>R<sup>2</sup>NH,

aminomethylcyclohexane as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

#### Example 81

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 83

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as R1R2NH, tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4$ C(S)NH<sub>2</sub>.

# Example 84

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5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 5

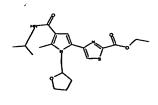
#### Example 85

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### Example 86

4-[4-Isobutylcarbamoyl-5-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrol-2-yl]thiazole-2-carboxylic acid ethyl ester



The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate as  $R^4C(S)NH_2$ .

## Example 87

5 2-Methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

## Example 88

2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

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The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 90

1-(2-Methoxy-ethyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

10

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 91

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 92

5 1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 93

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5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 94

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 95

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1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 4methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 96

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 97

4-[4-Isobutylcarbamoyl-1-(4-methoxy-benzyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate as  $R^4C(S)NH_2$ .

## Example 98

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

15

5

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as R<sup>1</sup>R<sup>2</sup>NH, 4-

methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4$ C(S)NH<sub>2</sub>.

#### Example 100

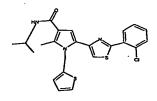
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

10

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 101

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3carboxylic acid isobutyl-amide



The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

5

## Example 102

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 103

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

15

# 5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid isobutyl-amide

The title compound was obtained using iso-butylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-

(aminomethyl)thiophene as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4$ C(S)NH<sub>2</sub>.

# Example 105

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 15

# Example 106

1-Butyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

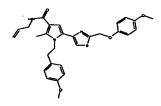
## Example 107

1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 108

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-[2-(4-methoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide



5

The title compound was obtained using allylamine as  $R^1R^2NH$ , 2-(4-methoxyphenyl)-ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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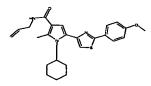
## Example 109

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 110

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide



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The title compound was obtained using allylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 111

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

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The title compound was obtained using allylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 112

1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 113

1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid allylamide

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The title compound was obtained using allylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 114

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid allylamide

5

The title compound was obtained using allylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 115

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(2-methoxy-ethyl)-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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#### Example 116

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3-(CH_2)_m-NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 117

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 118

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

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The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 119

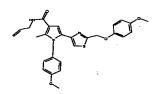
1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

5

The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 120

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid allylamide



The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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## Example 121

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 122

4-[4-Allylcarbamoyl-1-(4-methoxy-benzyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate as  $R^4C(S)NH_2$ .

## Example 123

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid allylamide

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The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

# Example 124

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid allylamide

5

The title compound was obtained using allylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 125

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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#### Example 126

1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid allylamide

The title compound was obtained using allylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 127

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , butylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 128

1-Butyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

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5

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , butylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 129

1-Butyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

5

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , butylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 130

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-isobutyl-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 131

1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , isobutylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 132

1-Isobutyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , isobutylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 133

1-Isobutyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 134

5 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 135

1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

15

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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## Example 137

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 138

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 5

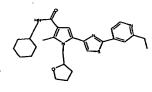
# Example 139

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 140

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide



The title compound was obtained using aminomethylcyclohexane as  $R^{1}R^{2}NH$ , tetrahydrofurfurylamine as  $R^{3}$ - $(CH_{2})_{m}$ - $NH_{2}$  and 2-ethyl-4-pyridine carbothiamide as  $R^{4}C(S)NH_{2}$ .

## Example 141

4-[4-(Cyclohexylmethyl-carbamoyl)-5-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

# Example 142

2-Methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

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The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 144

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(2-methoxy-ethyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 145

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1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 146

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1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 147

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(2-methoxy-ethyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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# Example 148

4-[4-(Cyclohexylmethyl-carbamoyl)-1-(2-methoxy-ethyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

## Example 149

1-(2-Methoxy-ethyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

15

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

1-(2-Methoxy-ethyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 151

1-(2-Cyclohex-1-enyl-ethyl)-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

10

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 2-(1-cyclohexenyl)ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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## Example 152

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 5

# Example 153

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 4methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 154

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1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

#### 15

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 155

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

5

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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## Example 156

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 157

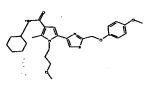
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 158

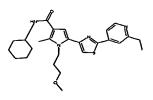
5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide



The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 159

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3carboxylic acid cyclohexylmethyl-amide



The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### 5

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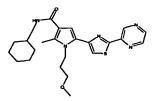
# Example 160

4-[4-(Cyclohexylmethyl-carbamoyl)-1-(3-methoxy-propyl)-5-methyl-1H-pyrrol-2-yl]thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

## Example 161

1-(3-Methoxy-propyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide



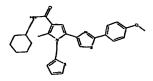
#### 15

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The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 162

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide



The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### 5

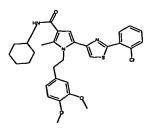
## Example 163

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide

The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 164

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-[2-(3,4-dimethoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylmethyl-amide



The title compound was obtained using aminomethylcyclohexane as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 165

5 1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 166

4-{1-Isobutyl-5-methyl-4-[(tetrahydro-furan-2-ylmethyl)-carbamoyl]-1H-pyrrol-2-yl}-thiazole-2-carboxylic acid ethyl ester

15 The title compound was obtained using tetrahydrofurfurylamine as R<sup>1</sup>R<sup>2</sup>NH, isobutylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate.

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## Example 167

1-Isobutyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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# Example 168

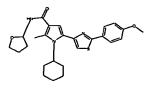
5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 169

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1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide



The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

## Example 170

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

## Example 171

1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

## Example 173

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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## Example 174

2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

#### 5

# Example 175

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(2-methoxy-ethyl)-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 176

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

## Example 177

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 178

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 179

20 1-(4-Methoxy-benzyl)-2-methyl-5-(2-methyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and thioacetamide as  $R^4C(S)NH_2$ .

# Example 180

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 181

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

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The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 182

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

5

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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## Example 183

4-{1-(4-Methoxy-benzyl)-5-methyl-4-[(tetrahydro-furan-2-ylmethyl)-carbamoyl]-1H-pyrrol-2-yl}-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate.

#### Example 184

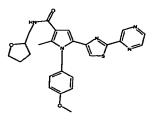
1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

#### 5

## Example 185

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

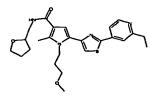


#### 10

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 186

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide



The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

## Example 187

1-(3-Methoxy-propyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

# Example 188

1-(3-Methoxy-propyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-(aminomethyl)thiophene as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

# Example 190

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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#### Example 191

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### 5

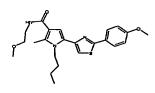
# Example 192

1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide

The title compound was obtained using tetrahydrofurfurylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 193

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide



The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 194

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 195

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

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The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 197

1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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# Example 198

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

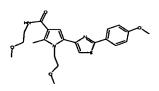
The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 199

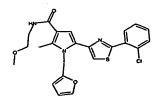
1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide



The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 200

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide



The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 201

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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#### Example 202

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

15 The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 203

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

### Example 204

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1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 205

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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# Example 206

4-[1-(4-Methoxy-benzyl)-4-(2-methoxy-ethylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

# Example 207

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

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The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

The title compound was obtained using methoxyethylamine as R<sup>1</sup>R<sup>2</sup>NH, 4methoxybenzylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

# Example 209

1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-methoxy-ethyl)-amide

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The title compound was obtained using methoxyethylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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### Example 210

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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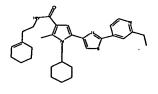
### Example 211

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 212

1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide



The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 213

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 214

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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2-Methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

# Example 216

1-(2-Methoxy-ethyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

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### Example 217

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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# Example 218

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 219

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

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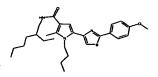
# Example 220

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (2-cyclohex-1-enyl-ethyl)-amide

The title compound was obtained using 2-cyclohex-1-enyl-ethylamine as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

### Example 221

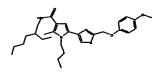
rac-1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide



The title compound was obtained using 2-ethyl-hexylamine as  $R^{1}R^{2}NH$ , butylamine as  $R^{3}$ - $(CH_{2})_{m}-NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ .

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rac-1-Butyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , butylamine as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 223

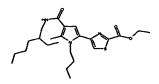
rac-1-Butyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

10

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 224

15 rac-4-[1-Butyl-4-(2-ethyl-hexylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , butylamine as  $R^3-(CH_2)_m-NH_2$  and ethylthiooxamate.

# Example 225

5 rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-isobutyl-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 226

rac-1-Isobutyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^{1}R^{2}NH$ , isobutylamine as  $R^{3}$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ .

# Example 227

rac-1-Isobutyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 228

rac-4-[4-(2-Ethyl-hexylcarbamoyl)-1-isobutyl-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate.

# Example 229

rac-1-Isobutyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 230

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-[2-(4-methoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

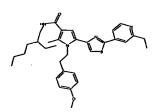
5

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 2-(1-cyclohexenyl)ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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# Example 231

rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-[2-(4-methoxy-phenyl)-ethyl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 2-(1-cyclohexenyl)ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 232

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 233

rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

10 The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 234

15 rac-1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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# Example 235

rac-4-[1-Cyclohexylmethyl-4-(2-ethyl-hexylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate.

# <u>Example 236</u>

rac-1-Cyclohexylmethyl-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

#### 15

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

rac-1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 238

10 rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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### Example 239

rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 240

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 241

15 rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 242

rac-4-[4-(2-Ethyl-hexylcarbamoyl)-5-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and ethylthiooxamate.

# Example 243

rac-2-Methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

15

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

rac-2-Methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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# Example 245

rac-1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 246

rac-1-(2-Methoxy-ethyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### 5

# Example 247

rac-1-(2-Methoxy-ethyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as R<sup>1</sup>R<sup>2</sup>NH,

methoxyethylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 6-methyl-pyridine-3-carbothioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

# Example 248

rac-1-(2-Methoxy-ethyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

### Example 249

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rac-1-(2-Cyclohex-1-enyl-ethyl)-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 2-(1-cyclohexenyl)ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 250

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

### Example 251

rac-1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 252

5 rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 253

rac-1-(4-Methoxy-benzyl)-2-methyl-5-(2-methyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic. acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and thioacetamide as  $R^4C(S)NH_2$ .

# Example 254

rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 255

rac-1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 256

rac-1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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# Example 257

rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 258

rac-1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

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rac-1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as R<sup>1</sup>R<sup>2</sup>NH, 4-methoxybenzylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

### Example 260

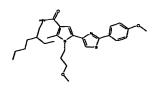
rac-1-(3-Methoxy-propyl)-2-methyl-5-(2-methyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and thioacetamide as  $R^4C(S)NH_2$ .

# Example 261

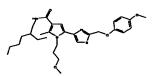
15 rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 262

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

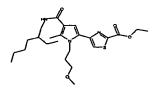
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### Example 263

rac-4-[4-(2-Ethyl-hexylcarbamoyl)-1-(3-methoxy-propyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester



The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethyl-amine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

### Example 264

rac-1-(3-Methoxy-propyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , methoxyethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 265

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rac-5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 266

rac-5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 267

rac-5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as R<sup>1</sup>R<sup>2</sup>NH, 3
(aminomethyl)thiophene as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

# Example 268

rac-5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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rac-1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-2-methyl-5-(2-methyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and thioacetamide as  $R^4C(S)NH_2$ .

# Example 270

rac-1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-ethyl-hexyl)-amide

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The title compound was obtained using 2-ethyl-hexylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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# Example 271

1-Butyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^{1}R^{2}NH$ , butylamine as  $R^{3}$ -( $CH_{2}$ )<sub>m</sub>- $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ .

# Example 272

5 1-Butyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , butylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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# Example 273

1-Isobutyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , isobutylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

#### Example 274

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 275

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 276

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

#### Example 277

1-Cyclohexylmethyl-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

# Example 278

1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

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5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 280

5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1-(tetrahydro-furan-2-ylmethyl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , tetrahydrofurfurylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

### Example 281

1-(2-Cyclohex-1-enyl-ethyl)-5-[2-(2-ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1 H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 2-(1-cyclohexenyl)ethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

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# Example 282

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-furan-2-ylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 283

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 284

1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 3-furylmethylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 285

1-(4-Methoxy-benzyl)-2-methyl-5-(2-methyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

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The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and thioacetamide as  $R^4C(S)NH_2$ .

# Example 286

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

# Example 287

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

# Example 288

1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

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# Example 289

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-1-(4-methoxy-benzyl)-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

#### Example 290

4-[1-(4-Methoxy-benzyl)-4-(3-methoxy-propylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

1-(4-Methoxy-benzyl)-2-methyl-5-[2-(6-methyl-pyridin-3-yl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 6-methyl-pyridine-3-carbothioamide as  $R^4C(S)NH_2$ .

# Example 292

1-(4-Methoxy-benzyl)-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

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The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 4-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and pyrazine-2-carbothioamide as  $R^4C(S)NH_2$ .

# Example 293

5-[2-(2-Chloro-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-chloro-phenyl thioamide as  $R^4C(S)NH_2$ .

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# Example 294

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-(aminomethyl)thiophene as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>.

# Example 295

5-[2-(2-Ethyl-pyridin-4-yl)-thiazol-4-yl]-2-methyl-1-thiophen-2-ylmethyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , 3-(aminomethyl)thiophene as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-ethyl-4-pyridine carbothiamide as  $R^4C(S)NH_2$ .

1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3-methoxy-propyl)-amide

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-(4-methoxyphenoxy)ethanethioamide as  $R^4C(S)NH_2$ .

# Example 297

4-[1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-4-(3-methoxy-propylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester

The title compound was obtained using methoxypropylamine as  $R^1R^2NH$ , homoveratrylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and ethylthiooxamate.

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# Example 298

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid morpholin-4-ylamide

The title compound was obtained using 1-amino-morpholine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 495.3  $(M+H)^+$ .

# Example 299

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid piperidin-1-ylamide

The title compound was obtained using 1-amino-piperidine as R<sup>1</sup>R<sup>2</sup>NH, aminomethylcyclohexane as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 493.4 (M+H)<sup>+</sup>.

# Example 300

1-(4-Chloro-phenyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-chloroaniline as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 480.3  $(M+H)^+$ .

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1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3,4-dimethoxy-phenyl)-amide

The title compound was obtained using 3,4-dimethoxy aniline as R<sup>1</sup>R<sup>2</sup>NH, aminomethylcyclohexane as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 546.3 (M+H)<sup>+</sup>.

# Example 302

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-nitro-phenyl)-amide

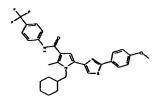
The title compound was obtained using 2-nitroaniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 531.3  $(M+H)^+$ .

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# Example 303

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (4-trifluoromethyl-phenyl)-amide

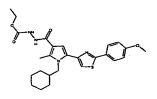


The title compound was obtained using 4-trifluoromethylaniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 554.3 (M+H)<sup>+</sup>.

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# Example 304

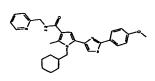
N'-{1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carbonyl}-hydrazinecarboxylic acid ethyl ester.



The title compound was obtained using ethyl carbazate as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 497.4 (M+H)<sup>+</sup>.

### Example 305

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (pyridin-2-ylmethyl)-amide



The title compound was obtained using 2-(aminomethyl)pyridine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 501.3 (M+H)<sup>+</sup>.

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (4-chloro-phenyl)-amide

Example 306

The title compound was obtained using 4-chloro-aniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 520.3 (M+H)<sup>+</sup>.

# Example 307

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (3,4-dichloro-phenyl)-amide

The title compound was obtained using 3,4-dichloroaniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 554.3  $(M+H)^+$ .

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rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide

The title compound was obtained using sec-butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 466.4 (M+H)<sup>+</sup>.

# Example 309

{1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}-morpholin-4-yl-methanone.

The title compound was obtained using morpholine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 480.3  $(M+H)^+$ .

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# Example 310

 $5-Methyl-is oxazole-3-carboxylic\ acid\ N'-\{1-cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carbonyl\}-hydrazide.$ 

The title compound was obtained using 5-methylisoxazole-3-carbohydrazide as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 534.3 (M+H)<sup>+</sup>.

# Example 311

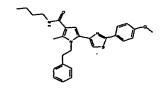
5

{1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}-piperidin-1-yl-methanone.

The title compound was obtained using piperidine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 478.3 (M+H)<sup>+</sup>.

#### Example 312

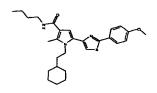
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-phenethyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^{1}R^{2}NH$ , phenethylamine as  $R^{3}$ - $(CH_{2})_{m}$ - $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ , MS(ISP) 474.3  $(M+H)^{+}$ .

# Example 313

5 1-(2-Cyclohexyl-ethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-cyclohexyl-ethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 480.4 (M+H)<sup>+</sup>.

# Example 314

1-(3,5-Dimethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid butylamide

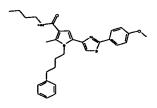
The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,5-dimethylbenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 488.3  $(M+H)^+$ .

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid phenylamide

The title compound was obtained using aniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 486.4 (M+H)<sup>+</sup>.

# Example 316

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(4-phenyl-butyl)-1H-pyrrole-3carboxylic acid butylamide

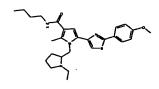


10

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-phenylbutylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 502.3 (M+H)<sup>+</sup>.

# Example 317

15 1-(1-Ethyl-pyrrolidin-2-ylmethyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-(aminomethyl)-1-ethylpyrrolidine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 481.3 (M+H)<sup>+</sup>.

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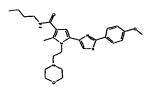
# Example 318

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid amide

The title compound was obtained using aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4$ C(S)NH<sub>2</sub>, MS(ISP) 410.3 (M+H)<sup>+</sup>.

# Example 319

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(2-morpholin-4-yl-ethyl)-1H-pyrrole-3-carboxylic acid butylamide



#### 15

The title compound was obtained using butylamine as  $R^{1}R^{2}NH$ , 4-(2-aminoethyl)morpholine as  $R^{3}$ -( $CH_{2}$ )<sub>m</sub>- $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ , MS(ISP) 483.3 (M+H)<sup>+</sup>.

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (4-methyl-thiazol-2-yl)-amide

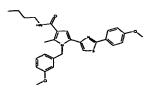
The title compound was obtained using 2-amino-4-methylthiazole as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 507.3 (M+H)<sup>+</sup>.

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# Example 321

1-(3-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 3-methoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 490.3 (M+H)<sup>+</sup>.

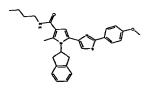
# Example 322

1-(3,5-Dichloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,5-dichloroaniline as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 528.2  $(M+H)^+$ .

# Example 323

1-Indan-2-yl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-aminoindane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 486.4  $(M+H)^+$ .

#### 10

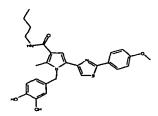
#### Example 324

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pyrimidin-2-ylamide

The title compound was obtained using 2-aminopyrimidine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 488.3  $(M+H)^+$ .

#### Example 325

20 1-(3,4-Dihydroxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide .

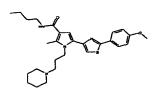


The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,4-dihydroxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 492.3 (M+H)<sup>+</sup>.

#### 5

# Example 326

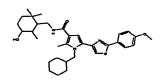
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3-piperidin-1-yl-propyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, 3-piperidino-propylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 495.4 (M+H)<sup>+</sup>.

# Example 327

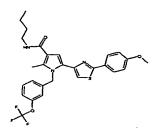
15 rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (5-hydroxy-2,2,6-trimethyl-cyclohexylmethyl)-amide



The title compound was obtained using aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4$ C(S)NH<sub>2</sub>, MS(ISP) 564.4 (M+H)<sup>+</sup>.

# Example 328

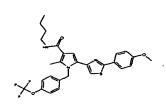
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3-trifluoromethoxy-benzyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 3(trifluoromethoxy)benzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 544.4 (M+H)<sup>+</sup>.

#### Example 329

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(4-trifluoromethoxy-benzyl)-1H-pyrrole-3-carboxylic acid butylamide



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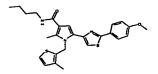
5

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-(trifluoromethoxy)benzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 544.3 (M+H)<sup>+</sup>.

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# Example 330

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3-methyl-thiophen-2-ylmethyl)-1H-pyrrole-3-carboxylic acid butylamide

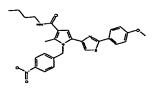


The title compound was obtained using butylamine as  $R^1R^2NH$ , (3-methyl-2-thienyl)methylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 480.3 (M+H)<sup>+</sup>.

# Example 331

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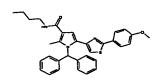
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(4-nitro-benzyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-nitrobenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 505.4  $(M+H)^+$ .

# Example 332

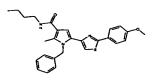
1-Benzhydryl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^{1}R^{2}NH$ , benzhydrylamine as  $R^{3}-(CH_{2})_{m}-NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ , MS(ISP) 536.4 (M+H)<sup>+</sup>.

#### Example 333

5 1-Benzyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

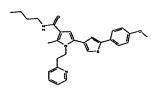


The title compound was obtained using butylamine as  $R^{1}R^{2}NH$ , benzylamine as  $R^{3}$ - $(CH_{2})_{m}$ - $NH_{2}$  and 4-methoxyphenyl thioamide as  $R^{4}C(S)NH_{2}$ , MS(ISP) 560.3  $(M+H)^{+}$ .

#### 10

#### Example 334

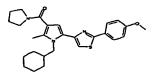
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(2-pyridin-2-yl-ethyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-(2-aminoethyl)pyridine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 475.3  $(M+H)^+$ .

#### Example 335

20 {1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}pyrrolidin-1-yl-methanone



The title compound was obtained using pyrrolidine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 464.3  $(M+H)^+$ .

# Example 336

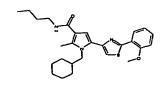
5

1-Cyclohexylmethyl-5-[2-(3,4-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, aminomethylcyclohexane as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 3,4-dimethoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 496.4 (M+H)<sup>+</sup>.

# Example 337

1-Cyclohexylmethyl-5-[2-(2-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 3,4-dimethoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 466.3 (M+H)<sup>+</sup>.

#### 5

# Example 338

1-Cyclohexylmethyl-2-methyl-5-[2-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-trifluoromethylphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 504.2 (M+H)<sup>+</sup>.

# Example 339

1-Cyclohexylmethyl-5-[2-(3-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 3-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 466.3 (M+H)<sup>+</sup>.

5-(2-Benzo[1,3]dioxol-5-yl-thiazol-4-yl)-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

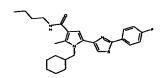
The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, aminomethylcyclohexane as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 1,3-benzodioxole-5-carbothiamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 480.3 (M+H)<sup>+</sup>.

# Example 341

1-Cyclohexylmethyl-5-[2-(4-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-fluorophenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 454.3 (M+H)<sup>+</sup>.

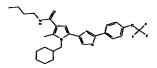
# Example 342

1-Cyclohexylmethyl-5-[2-(2-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2-fluorophenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 454.3 (M+H)<sup>+</sup>.

#### Example 343

1-Cyclohexylmethyl-2-methyl-5-[2-(4-trifluoromethoxy-phenyl)-thiazol-4-yl]-1Hpyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-(trifluoromethoxy)phenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 520.3 (M+H)<sup>+</sup>.

# Example 344

1-Cyclohexylmethyl-5-[2-(3,5-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

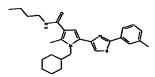
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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 3,5-dimethoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 496.4 (M+H)<sup>+</sup>.

1-Cyclohexylmethyl-2-methyl-5-(2-m-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 3-methylphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 450.3 (M+H)<sup>+</sup>.

# Example 346

1-Cyclohexylmethyl-2-methyl-5-(2'-methyl-[2,4']bithiazolyl-4-yl)-1H-pyrrole-3carboxylic acid butylamide

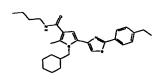
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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2-methyl-1,3-thiazole-4-carbothioamide as  $R^4C(S)NH_2$ , MS(ISP) 457.3 (M+H)<sup>†</sup>.

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### Example 347

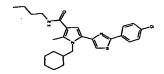
1-Cyclohexylmethyl-5-[2-(4-ethyl-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-ethylphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 464.3 (M+H)<sup>+</sup>.

# Example 348

5 5-[2-(4-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



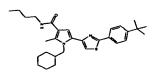
The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-chlorophenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 470.3 (M+H)<sup>+</sup>.

#### Example 349

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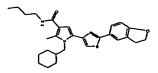
5-[2-(4-tert-Butyl-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-tertbutylphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 492.4  $(M+H)^+$ 

#### Example 350

1-Cyclohexylmethyl-5-[2-(2,3-dihydro-benzofuran-5-yl)-thiazol-4-yl]-2-methyl-1Hpyrrole-3-carboxylic acid butylamide



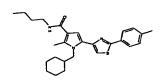
The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 2,3-dihydrobenzo[b]furan-5-carbothioamide as  $R^4C(S)NH_2$ , MS(ISP) 478.3  $(M+H)^+$ .

# Example 351

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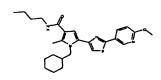
1-Cyclohexylmethyl-2-methyl-5-(2-p-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methylphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 450.3 (M+H)<sup>+</sup>.

# Example 352

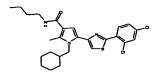
1-Cyclohexylmethyl-5-[2-(6-methoxy-pyridin-3-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 6-methoxy-nicotamide as  $R^4C(S)NH_2$ , MS(ISP) 467.3 (M+H)<sup>+</sup>.

# Example 353

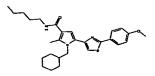
5 1-Cyclohexylmethyl-5-[2-(2,4-dichloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 2,4-dichlorophenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 504.2 (M+H)<sup>+</sup>.

#### Example 354

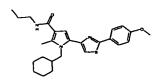
1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pentylamide



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The title compound was obtained using pentylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 481.3  $(M+H)^+$ .

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid propylamide



The title compound was obtained using propylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 452.3  $(M+H)^+$ .

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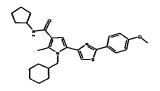
# Example 356

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylamide

The title compound was obtained using cyclohexylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 492.3  $(M+H)^+$ .

#### Example 357

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopentylamide

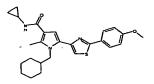


The title compound was obtained using cyclopentylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 478.3  $(M+H)^+$ .

#### 5

# Example 358

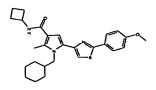
1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid cyclopropylamide



The title compound was obtained using cyclopropylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 450.3 (M+H)<sup>+</sup>.

#### Example 359

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3carboxylic acid cyclobutylamide



The title compound was obtained using cyclobutylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 464.3  $(M+H)^+$ .

# Example 360

(trans) rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-cyclopentyl)-amide

The title compound was obtained using trans-2-aminocyclopentanol as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 494.3 (M+H)<sup>+</sup>.

# Example 361

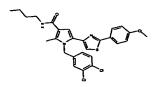
1-(4-Chloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 4-chloroaniline as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 494.2  $(M+H)^+$ .

Example 362

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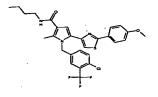
1-(3,4-Dichloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,4-dichloroaniline as  $R^3$ (CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 527.2 (M+H)<sup>+</sup>.

# Example 363

1-(4-Chloro-3-trifluoromethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



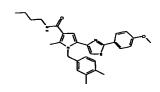
10

The title compound was obtained using butylamine as  $R^1R^2NH$ , 3-trifluoromethyl,4-chloro aniline as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 562.2 (M+H)<sup>†</sup>.

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### Example 364

1-(3,4-Dimethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

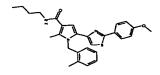


The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,4-dimethylbenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 488.3  $(M+H)^+$ .

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## Example 365

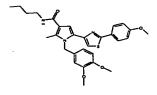
5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(2-methyl-benzyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-methylbenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 474.3 (M+H)<sup>+</sup>.

#### Example 366

1-(3,4-Dimethoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

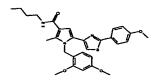


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The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,4-dimethoxybenzylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 520.4  $(M+H)^+$ .

#### Example 367

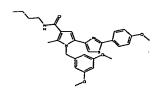
1-(3,4-Dimethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, 2,4-dimethoxybenzylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 520.3 (M+H)<sup>+</sup>.

#### Example 368

1-(3,5-Dimethoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

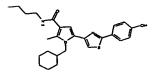


The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,5-methoxybenzylamine as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 520.3  $(M+H)^+$ .

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#### Example 369

1-Cyclohexylmethyl-5-[2-(4-hydroxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

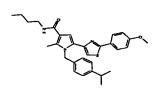


The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-hydroxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 452.3 (M+H)<sup>+</sup>.

## Example 370

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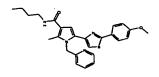
1-(4-Isopropyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as R<sup>1</sup>R<sup>2</sup>NH, 4-isopropylbenzylamine as R<sup>3</sup>-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as R<sup>4</sup>C(S)NH<sub>2</sub>, MS(ISP) 502.3 (M+H)<sup>+</sup>.

#### Example 371

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-pyridin-2-ylmethyl-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-(aminomethyl)pyridine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ , MS(ISP) 461.3 (M+H)<sup>+</sup>.

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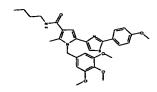
#### Example 372

1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (4-trifluoromethoxy-phenyl)-amide

The title compound was obtained using 4-(trifluoromethoxy)aniline as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ -( $CH_2$ )<sub>m</sub>- $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 373

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3,4,5-trimethoxy-benzyl)-1H-pyrrole-3-carboxylic acid butylamide



The title compound was obtained using butylamine as  $R^1R^2NH$ , 3,4,5-trimethoxybenzylamine as  $R^3$ - $(CH_2)_m$ - $NH_2$  and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(2-p-tolyl-ethyl)-1H-pyrrole-3-carboxylic acid butylamide

The title compound was obtained using butylamine as  $R^1R^2NH$ , 2-(p-tolyl)ethylamine as  $R^3$ -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub> and 4-methoxyphenyl thioamide as  $R^4C(S)NH_2$ .

#### Example 375

1-Cyclohexylmethyl-5-(2-cyclohexyl-thiazol-4-yl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide

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The title compound was obtained using butylamine as  $R^1R^2NH$ , aminomethylcyclohexane as  $R^3$ - $(CH_2)_m$ - $NH_2$  and cyclohexane carbothioamide as  $R^4C(S)NH_2$ .

#### Galenical Examples

#### Example A

Film coated tablets containing the following ingredients can be manufactured in a conventional manner:

<u>Ingredients</u>	Per tablet	
Kernel:		
Compound of formula (I)	10.0 mg	200.0 mg
Microcrystalline cellulose	23.5 mg	43.5 mg
Lactose hydrous	60.0 mg	70.0 mg
Povidone K30	12.5 mg	15.0 mg
Sodium starch glycolate	12.5 mg	17.0 mg
Magnesium stearate	1.5 mg	4.5 mg
(Kernel Weight)	120.0 mg	350.0 mg
Film Coat:		
Hydroxypropyl methyl cellulose	3.5 mg	7.0 mg
Polyethylene glycol 6000	0.8 mg	1.6 mg
Talc	1.3 mg	2.6 mg
Iron oxyde (yellow)	0.8 mg	1.6 mg
Titan dioxide	0.8 mg	1.6 mg

The active ingredient is sieved and mixed with microcrystalline cellulose and the mixture is granulated with a solution of polyvinylpyrrolidone in water. The granulate is mixed with sodium starch glycolate and magesium stearate and compressed to yield kernels of 120 or 350 mg respectively. The kernels are lacquered with an aq. solution / suspension of the above mentioned film coat.

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#### Example B

Capsules containing the following ingredients can be manufactured in a conventional manner:

Ingredients	<u>Per capsule</u>
Compound of formula (I)	25.0 mg
Lactose	150.0 mg
Maize starch	20.0 mg
Talc	5.0 mg

The components are sieved and mixed and filled into capsules of size 2.

#### Example C

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Injection solutions can have the following composition:

Compound of formula (I)	3.0 mg
Polyethylene glycol 400	150.0 mg
Acetic acid	q.s. ad pH 5.0
Water for injection solutions	ad 1.0 ml

The active ingredient is dissolved in a mixture of Polyethylene glycol 400 and water for injection (part). The pH is adjusted to 5.0 by addition of acetic acid. The volume is adjusted to 1.0 ml by addition of the residual amount of water. The solution is filtered, filled into vials using an appropriate overage and sterilized.

Claims

#### 1. Compounds of formula (I)

$$R^{1}$$
 $N$ 
 $R^{6}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{3}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{4}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{7}$ 
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{7}$ 
 $R^{7}$ 

wherein

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R<sup>1</sup> is hydrogen, or lower alkyl;

 $R^2$  is hydrogen, lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, lower alkoxycarbonylamino,  $-(CH_2)_m-R^{2a}$  or  $-NHC(O)-R^{2a}$ ;

or R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached form a 5- or 6-membered, saturated heterocyclic ring optionally containing one or two further heteroatom(s) independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy;

R<sup>2a</sup> is cycloalkyl, optionally mono-, di-, tri- or tetra-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro;

 $R^3$  is lower alkyl, lower alkenyl, lower alkoxy-lower alkyl, di-phenyl-lower alkyl, or  $-(CH_2)_n-R^{3a}$ ;

R<sup>3a</sup> is cycloalkyl, which may optionally be fused to a phenyl ring; or cycloalkyl which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; cycloalkenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent saturated heterocyclic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, amino, lower alkylamino, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino or lower alkylamino; or phenyl, which may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro;

R<sup>4</sup> is lower alkyl, lower alkoxycarbonyl; cycloalkyl, which may optionally be mono, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, fluorinated lower alkyl or fluorinated lower alkoxy; a 5-or 6-membered monovalent heteroaromatic ring containing one to three heteroatoms independently selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, amino, lower alkylamino; phenoxy-lower alkyl, wherein the phenyl moiety may optionally be mono-, di- or tri-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkyl, which may optionally be mono-, di- or tri-substituted, independently, by, hydroxy, lower alkyl, lower alkoxy, halogen, lower alkylamino, halogenated lower alkyl, halogenated lower alkoxy or nitro; or two adjacent substituents of the said phenyl residue together are -O-(CH<sub>2</sub>)<sub>p</sub>-O- or -(CH<sub>2</sub>)<sub>2</sub>-O-;

R<sup>5</sup> and R<sup>6</sup> are each independently selected from hydrogen, lower alkyl, halogen or fluorinated methyl;

R<sup>7</sup> is hydrogen, lower alkyl or halogen;

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m is 0, 1, 2 or 3;

n is 0, 1, 2, 3 or 4;

p is 1, 2 or 3;

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and pharmaceutically acceptable salts thereof.

- 2. Compounds according to claim 1, wherein R<sup>1</sup> is hydrogen.
- 3. Compounds according to any of claims 1 or 2, wherein  $R^2$  is lower alkyl or a residue  $-(CH_2)_m-R^{2a}$  or  $-NHC(O)-R^{2a}$ .
- 4. Compounds according to claim 2, wherein R<sup>2a</sup> is a cycloalkyl residues with three to six carbon atoms, which may optionally be mono- or tetra-substituted, independently, by lower alkyl and/or hydroxy.
  - 5. Compounds according to claim 2, wherein R<sup>2a</sup> is cyclohexenyl.
  - 6. Compounds according to claim 2, wherein R<sup>2a</sup> is an unsubstituted 5-membered monovalent saturated heterocyclic ring containing one or two heteroatoms independently selected from nitrogen and oxygen.
- 7. Compounds according to claim 6, wherein R<sup>2a</sup> is piperidinyl, morpholino or tetrahydrofuranyl.
- 8. Compounds according to claim 2, wherein R<sup>2a</sup> is a 5- or 6-membered monovalent heterocyclic ring containing one or two heteroatoms independently selected from nitrogen and sulfur, said heteroaromatic ring bein optionally substituted by lower alkyl.
- 9. Compounds according to claim 8, wherein  $R^{2a}$  is pyridinyl, pyrimidinyl, thiazolyl or isoxazolyl, optionally substituted by lower alkyl.
- 10. Compounds according to any of claims 1 to 9, wherein R<sup>2a</sup> is a phenyl residue which is optionally mono- or di-substituted, independently, by lower alkoxy, halogen,
   25 halogenated lower alkyl, halogenated lower alkoxy or nitro.
  - 11. Compounds according to any of claims 1 to 10, wherein m is 0 or 1.
  - 12. Compounds according to claim 1, wherein R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached form a 5- or 6-membered, saturated heterocyclic ring optionally containing an oxygen atom in the ring.

- 13. Compounds according to claim 12, wherein R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom to which they are attached are unsubstituted pyrrolidinyl, piperidinyl or morpholino.
- 14. Compounds according to any of claims 1 to 13, wherein  $R^3$  is a residue  $-(CH_2)_n-R^{3a}$ .
  - 15. Compounds according to claim 14, wherein  $R^{3a}$  is cycloalkyl fused to a phenyl ring.
  - 16. Compounds according to claim 14, wherein R<sup>3a</sup> is an unsubstituted cycloalkyl residue with five or six carbon atoms.
- 17. Compounds according to claim 14, wherein R<sup>3a</sup> is a 5- or 6-memberd heterocyclic ring containing one or two heteroatoms independently selected from nitrogen and oxygen, said heterocyclic ring being optionally mono-, di- or tri-substituted, independently, by lower alkyl.
  - 18. Compounds according to claim 14, wherein R<sup>3a</sup> is a 5- or 6-membered heteroaromatic ring containing one heteroatom selected from nitrogen, oxygen and sulfur, said heteroaromatic ring being optionally mono-substituted by lower alkyl.
    - 19. Compounds according to claim 14, wherein R<sup>3a</sup> is phenyl optionally mono- or di-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, halogenated lower alkyl, halogenated lower alkoxy or nitro.
    - 20. Compounds according to any of claims 14 to 19, wherein n is 1 or 2.

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- 21. Compounds according to any of claims 1 to 20, wherein R<sup>4</sup> is unsubstituted cyclohexyl.
- 22. Compounds according to any of claims 1 to 20, wherein R<sup>4</sup> is a 5- or 6-membered heteroaromatic ring containing one or two heteroatoms independently selected from nitrogen and sulfur, said heteroaromatic ring being optionally mono-substituted by lower alkyl.
- 23. Compounds according to any of claims 1 to 20, wherein R<sup>4</sup> is phenyl mono- or di-substituted, independently, by hydroxy, lower alkyl, lower alkoxy, halogen, halogenated lower alkyl, halogenated lower alkoxy or nitro.
- 24. Compounds according to any of claims 1 to 20, wherein two adjacent substituents of a phenyl residue R<sup>4</sup> together are -O-(CH<sub>2</sub>) -O- or -(CH<sub>2</sub>)<sub>2</sub>-O-.

- 25. Compounds according to any of claims 1 to 24, selected from the group consisting of:
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid butylamide,
- 5 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3 -carboxylic acid butylamide,
  - rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid sec-butylamide,
- rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenoxymethyl)-thiazol-4-yl]-2-methyl-10 1H-pyrr ole-3-carboxylic acid sec-butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid isobutyl-amide,
  - 1-Furan-2-ylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid isobutyl-amide,
- 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3 -carboxylic acid isobutyl-amide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-c arboxylic acid allylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-20 3-c arboxylic acid cyclohexylmethyl-amide,
  - 1-Cyclohexylmethyl-2-methyl-5-(2-pyrazin-2-yl-thiazol-4-yl)-1H-pyrrole-3-carboxy lic acid cyclohexylmethyl-amide,
  - 1-(4-Methoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3 -carboxylic acid cyclohexylmethyl-amide,
- 5-[2-(4-Methoxy-phenoxymethyl)-thiazol-4-yl]-1-(3-methoxy-propyl)-2-methyl-1H-py rrole-3-carboxylic acid cyclohexylmethyl-amide,
  - 4-[1-[2-(3,4-Dimethoxy-phenyl)-ethyl]-4-(3-methoxy-propylcarbamoyl)-5-methyl-1H-pyrrol-2-yl]-thiazole-2-carboxylic acid ethyl ester,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-30 3-carboxylic acid piperidin-1-ylamide,
  - N'-{1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carbonyl}-hydrazinecarboxylic acid ethyl ester,

- rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid sec-butylamide,
- {1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}-piperidin-1-yl-methanone,
- 5 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid phenylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid pyrimidin-2-ylamide,
- rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1Hpyrrole-3-carboxylic acid (5-hydroxy-2,2,6-trimethyl-cyclohexylmethyl)-amide,
  - 5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-(3-trifluoromethoxy-benzyl)-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Benzyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 15 {1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrol-3-yl}-pyrrolidin-1-yl-methanone,
  - 1-Cyclohexylmethyl-5-[2-(3,4-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(3-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-20 3-carboxylic acid butylamide,
  - 5-(2-Benzo[1,3]dioxol-5-yl-thiazol-4-yl)-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 25 1-Cyclohexylmethyl-5-[2-(2-fluoro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-[2-(4-trifluoromethoxy-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(3,5-dimethoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-30 pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-(2-m-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,

- 1-Cyclohexylmethyl-2-methyl-5-(2'-methyl-[2,4']bithiazolyl-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(4-ethyl-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 5 5-[2-(4-Chloro-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 5-[2-(4-tert-Butyl-phenyl)-thiazol-4-yl]-1-cyclohexylmethyl-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(2,3-dihydro-benzofuran-5-yl)-thiazol-4-yl]-2-methyl-10 1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-(2-p-tolyl-thiazol-4-yl)-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-5-[2-(6-methoxy-pyridin-3-yl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(2,4-dichloro-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-Cyclohexylmethyl-2-methyl-5-[2-(4-nitro-phenyl)-thiazol-4-yl]-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-20 3-carboxylic acid pentylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid propylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclohexylamide,
- 25 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopentylamide,
  - 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid cyclopropylamide,
- 1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-30 3-carboxylic acid cyclobutylamide,
  - (trans) rac-1-Cyclohexylmethyl-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-cyclopentyl)-amide,

- 1-(4-Chloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-(3,4-Dichloro-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 5 1-(3,4-Dimethyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 1-(3,4-Dimethoxy-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-[2-(4-hydroxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-10 carboxylic acid butylamide,
  - 1-(4-Isopropyl-benzyl)-5-[2-(4-methoxy-phenyl)-thiazol-4-yl]-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,
  - 5-[2-(4-Methoxy-phenyl)-thiazol-4-yl]-2-methyl-1-pyridin-2-ylmethyl-1H-pyrrole-3-carboxylic acid butylamide,
- 1-Cyclohexylmethyl-5-(2-cyclohexyl-thiazol-4-yl)-2-methyl-1H-pyrrole-3-carboxylic acid butylamide,

and pharmaceutically acceptable salts thereof.

26. A process for the manufacture of compounds of formula (I) as defined in any of claims 1 to 25, which process comprises reaction of an enamine of formula A:

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wherein R1, R2, R3, R5 and m are as defined claim 1;

with an alfa-bromoketone of formula B:

$$R^4$$
 $S$ 
 $R^6$ 
 $Br$ 

wherein R<sup>4</sup>, R<sup>6</sup> and R<sup>7</sup> are as defined claim 1.

- 27. Compounds according to any of claims 1 to 25 when manufactured by a process according to claim 26.
- 28. Pharmaceutical compositions comprising a compound according to any of claims 1 to 25 and a pharmaceutically acceptable carrier and/or adjuvant.
- 29. Compounds according to any of claims 1 to 25 for use as therapeutic active substances.
- 30. Compounds according to any of claims 1 to 25 for use as therapeutic active substances for the treatment and/or prophylaxis of diseases which are associated with modulation of the CB1 receptor.
- 31. A method for the treatment and/or prophylaxis of diseases which are associated with the modulation of the CB1 receptors which method comprises administering a compound according to any of claims 1 to 25 to a human being or animal.
  - 32. The use of compounds according to any of claims 1 to 25 for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors.
- 15 33. The use of compounds according to any of claims 1 to 25 for the preparation of medicaments for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors.
  - 34. The novel compounds, processes and methods as well as the use of such compounds substantially as described hereinbefore.

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**Abstract** 

EPO - Munich 69 **0** 2. Jan. 2003

The present invention relates to compounds of formula (I)

$$R^{1}$$
 $N$ 
 $R^{6}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{3}$ 
 $R^{7}$ 
 $R^{4}$ 

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> and R<sup>7</sup> are as defined in the description and claims, and
pharmaceutically acceptable salts thereof. The compounds are useful for the treatment
and/or prophylaxis of diseases which are associated with the modulation ot CB1 receptors.

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